#### What is claimed is:

1. A compound selected from the group represented by Formula I:

$$\begin{array}{c|c}
R_4 & O & R_1 \\
R_4 & O & R_2 & R_2 & R_5 \\
\hline
T & T & N & R_3
\end{array}$$

Formula 1

wherein:

T and T' are independently a covalent bond or optionally substituted lower alkylene;

 $R_1$  is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl;

 $R_2$  and  $R_2$  are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; or  $R_2$  and  $R_2$  taken together form an optionally substituted 3- to 7-membered ring which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the ring;

 $R_3$  is chosen from hydrogen, optionally substituted alkyl-, optionally substituted aryl-, optionally substituted aralkyl-, optionally substituted heteroaryl-, optionally substituted heteroaralkyl-, -C(O)- $R_6$ , and -S(O)<sub>2</sub>- $R_{6a}$ ;

 $R_4$  and  $R_{4^{\circ}}$  are independently chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl, or  $R_4$  and  $R_{4^{\circ}}$  together with the carbon to which they are attached form an optionally substituted alkylidene;

R<sub>5</sub> is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and

optionally substituted heteroaralkyl;

or  $R_5$  taken together with  $R_3$ , and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

or  $R_5$  taken together with  $R_2$  form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring;

 $R_6$  is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, optionally substituted heteroaralkyl,  $R_7O$ - and  $R_8$ -NH-;

 $R_{6a}$  is chosen from optionally substituted alkyl, optionally substituted aryl, optionally substituted alkylaryl, optionally substituted heteroaryl, optionally substituted alkylheteroaryl, and  $R_8$ -NH-;

R<sub>7</sub> is chosen from optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl; and

 $R_8$  is chosen from hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl, and optionally substituted heteroaralkyl;

- a pharmaceutically acceptable salt of a compound of Formula I;
- a pharmaceutically acceptable solvate of a compound of Formula I; or
- a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt of a compound of Formula I.
- 2. A compound of claim 1 comprising one or more of the following:

one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

 $R_1$  is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

 $R_2$  is optionally substituted  $C_1$ - $C_4$  alkyl;

R<sub>2</sub> is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  is  $-C(O)R_6$ ;

R<sub>4</sub> and R<sub>4</sub>, are independently chosen from hydrogen and optionally substituted lower alkyl;

 $R_6$  is chosen from optionally substituted  $C_1$ - $C_8$  alkyl, optionally substituted aryl- $C_1$ - $C_4$ -alkyl-, optionally substituted heteroaryl- $C_1$ - $C_4$ -alkyl-, optionally substituted heteroaryl, optionally substituted aryl,  $R_7$ O- and  $R_8$ -NH-;

 $R_7$  is optionally substituted  $C_1\text{-}C_8$  alkyl or optionally substituted aryl;

 $R_8$  is chosen from hydrogen, optionally substituted  $C_1$ - $C_8$  alkyl and optionally substituted aryl;

 $R_5$  is chosen from hydrogen;  $C_1$ - $C_4$  alkyl; cyclohexyl; phenyl substituted with hydroxyl,  $C_1$ - $C_4$  alkoxy or  $C_1$ - $C_4$  alkyl; benzyl; and  $R_{16}$ -alkylene-; and

 $R_{16}$  is hydroxyl, carboxy,  $(C_1-C_4 \text{ alkoxy})$ carbonyl-,  $di(C_1-C_4 \text{ alkyl})$ amino-,  $(C_1-C_4 \text{ alkoxy})$ carbonylamino-,  $C_1-C_4 \text{ alkoxy}$ -, or optionally substituted N-heterocyclyl-.

#### 3. A compound of claim 2 comprising one or more of the following:

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

R<sub>2</sub>, is hydrogen;

at least one of R<sub>4</sub> and R<sub>4</sub>, is hydrogen;

 $R_6$  is optionally substituted  $C_1$ - $C_8$  alkyl, optionally substituted aryl- $C_1$ - $C_4$ -alkyl-, optionally substituted heteroaryl- $C_1$ - $C_4$ -alkyl-, optionally substituted heteroaryl, or optionally substituted aryl;

R<sub>5</sub> is R<sub>16</sub>-alkylene-; and

 $R_{16}$  is amino,  $C_1$ - $C_4$  alkylamino-, di( $C_1$ - $C_4$  alkyl)amino-,  $C_1$ - $C_4$  alkoxy-, hydroxyl, or N-heterocyclyl.

4. A compound of claim 3 comprising one or more of the following:

R<sub>1</sub> is chosen from ethyl, propyl, methoxyethyl, naphthyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, and (ethoxycarbonyl)ethyl;

 $R_2$  is chosen from methyl, ethyl, propyl, butyl, methylthioethyl, methylthiomethyl, aminobutyl, (CBZ)aminobutyl, cyclohexylmethyl, benzyloxymethyl, methylsulfinylethyl, methylsulfinylmethyl, and hydroxymethyl;

 $R_4$  and  $R_{4'}$  are hydrogen;  $R_6$  is optionally substituted phenyl; and

A compound of claim 4 comprising one or more of the following:

R<sub>1</sub> is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or

hydroxybenzyl;

R<sub>2</sub> is ethyl or propyl;

 $R_{16}$  is amino.

 $R_6$  is tolyl, halophenyl, methylhalophenyl, hydroxymethyl-phenyl, halo(trifluoromethyl)phenyl-, methylenedioxyphenyl, formylphenyl or cyanophenyl; and

R<sub>5</sub> is aminoethyl, aminopropyl, aminobutyl, aminopentyl, aminohexyl, methylaminoethyl, methylaminopropyl, methylaminobutyl, methylaminopentyl, methylaminopropyl, dimethylaminobutyl, dimethylaminopropyl, dimethylaminopropyl, dimethylaminopropyl, ethylaminobutyl, ethylaminobutyl, ethylaminobutyl, diethylaminobutyl, diethylaminopentyl, diethylaminopentyl, diethylaminopentyl, or diethylaminohexyl.

A compound of claim 5 comprising one or more of the following:
 R<sub>1</sub> is benzyl; and

R<sub>2</sub> is i-propyl.

#### 7. A compound of claim 1 comprising one or more of the following:

one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

 $R_{\rm l}$  is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>2</sub>, is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  is  $-C(O)R_6$ ;

 $R_4$  and  $R_{4'}$  together with the carbon to which they are attached form an optionally substituted alkylidene; and

 $R_6$  is chosen from optionally substituted  $C_1$ - $C_8$  alkyl, optionally substituted aryl- $C_1$ - $C_4$ -alkyl-, optionally substituted heteroaryl- $C_1$ - $C_4$ -alkyl-, optionally substituted heteroaryl, optionally substituted aryl,  $R_7$ O- and  $R_8$ -NH-; and

R<sub>7</sub> is optionally substituted C<sub>1</sub>-C<sub>8</sub> alkyl or optionally substituted aryl;

 $R_8$  is chosen from hydrogen, optionally substituted  $C_1\text{-}C_8$  alkyl and optionally substituted aryl.

# 8. A compound of claim 7 comprising one or more of the following:

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

R<sub>2</sub> is hydrogen;

R<sub>4</sub> and R<sub>4</sub>, form an isopropylidene or an ethylidene group; and

 $R_6$  is optionally substituted  $C_1$ - $C_8$  alkyl, optionally substituted aryl- $C_1$ - $C_4$ -alkyl, optionally substituted heteroaryl- $C_1$ - $C_4$ -alkyl, optionally substituted heteroaryl, or

optionally substituted aryl.

9. A compound of claim 8 comprising one or more of the following:

R<sub>1</sub> is chosen from ethyl, propyl, methoxyethyl, naphthyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, and (ethoxycarbonyl)ethyl;

 $R_2$  is chosen from methyl, ethyl, propyl, butyl, methylthioethyl, methylthiomethyl, aminobutyl, (CBZ)aminobutyl, cyclohexylmethyl, benzyloxymethyl, methylsulfinylethyl, methylsulfinylmethyl, and hydroxymethyl; and

R<sub>6</sub> is optionally substituted phenyl.

10. A compound of claim 9 comprising one or more of the following:

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

 $R_2$  is ethyl or propyl; and

R<sub>6</sub> is tolyl, halophenyl, methylhalophenyl, hydroxymethyl-phenyl, halo(trifluoromethyl)phenyl-, methylenedioxyphenyl, formylphenyl or cyanophenyl.

11. A compound of claim 10 comprising one or more of the following:

R<sub>1</sub> is benzyl; and

 $R_2$  is i-propyl.

12. A compound of claim 1 comprising one or more of the following:

one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

 $R_1$  is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>2</sub>, is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an

optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring; and

 $R_4$  and  $R_{4^{\prime}}$  are independently selected from hydrogen and optionally substituted lower alkyl.

13. A compound of claim 12 comprising one or more of the following:

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

R<sub>2</sub>, is hydrogen;

at least one of R4 and R4 is hydrogen; and

 $R_3$  taken together with  $R_5$  and the nitrogen to which they are bound, forms an optionally substituted imidazolyl ring.

14. A compound of claim 12 comprising one or more of the following:

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

R<sub>2</sub>, is hydrogen;

at least one of R4 and R4 is hydrogen; and

··· : .

 $R_3$  taken together with  $R_5$  and the nitrogen to which they are bound, forms an optionally substituted imidazolinyl ring.

A compound of claim 12 comprising one or more of the following: 15.

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, dimethylphenyl, tolyl, chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

 $R_2$  is hydrogen;

at least one of R4 and R4 is hydrogen; and

R<sub>3</sub> taken together with R<sub>5</sub> and the nitrogen to which they are bound, forms an optionally substituted diazepinone ring.

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A compound of claim 12 comprising one or more of the following: 16.

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, ethoxyphenyl, tolyl, methoxyphenyl, dimethylphenyl. chorofluorophenyl, methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl; But the way to be

R<sub>2</sub>, is hydrogen;

at least one of  $R_4$  and  $R_{4^{\flat}}$  is hydrogen; and

R<sub>3</sub> taken together with R<sub>5</sub> and the nitrogen to which they are bound, forms an optionally substituted piperazine- or diazepam ring.

A compound of any of claims 12 to 16 comprising one or more of the following: 17.

R<sub>1</sub> is chosen from ethyl, propyl, methoxyethyl, naphthyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl. dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, and (ethoxycarbonyl)ethyl;

R<sub>2</sub> is chosen from methyl, ethyl, propyl, butyl, methylthioethyl, methylthiomethyl, aminobutyl, (CBZ)aminobutyl, cyclohexylmethyl, benzyloxymethyl, methylsulfinylethyl,

methylsulfinylmethyl, and hydroxymethyl; and

R<sub>4</sub> and R<sub>4</sub>, are hydrogen.

18. A compound of claim 17 comprising one or more of the following:

But the granders

 $R_{\rm l}$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl; and

R<sub>2</sub> is ethyl or propyl!

19. A compound of claim 18 comprising one or more of the following:

R<sub>1</sub> is benzyl; and

R<sub>2</sub> is i-propyl.

20. A compound of claim 1 comprising one or more of the following:

one of T and T' is a covalent bond and the other is a covalent bond or optionally substituted lower alkylene;

 $R_1$  is optionally substituted lower alkyl, optionally substituted aryl, or optionally substituted aralkyl;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>2</sub>, is hydrogen or optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_4$  and  $R_4$  together with the carbon to which they are attached form an optionally substituted alkylidene; and

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle, which optionally incorporates from one to two additional heteroatoms, selected from N, O, and S in the heterocycle ring.

21. A compound of claim 20 comprising one or more of the following:

3, ....

T and T' are each a covalent bond;

R<sub>1</sub> is ethyl, propyl, methoxyethyl, naphthyl, phenyl, bromophenyl, chlorophenyl, methoxyphenyl, ethoxyphenyl, tolyl, dimethylphenyl, chorofluorophenyl,

methylchlorophenyl, ethylphenyl, phenethyl, benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, hydroxybenzyl, dichlorobenzyl, dimethoxybenzyl, naphthylmethyl, or (ethoxycarbonyl)ethyl;

R<sub>2</sub>, is hydrogen;

R<sub>4</sub> and R<sub>4</sub>, form an isopropylidene or an ethylidene group.

## 22. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  is  $-C(O)R_6$ ;

R<sub>6</sub> is optionally substituted phenyl;

 $R_4$  and  $R_{4^{\prime}}$  are independently chosen from hydrogen and optionally substituted lower alkyl;

R<sub>5</sub> is R<sub>16</sub>-alkylene-; and

 $R_{16}$  is amino,  $C_1$ - $C_4$  alkylamino-, di( $C_1$ - $C_4$  alkyl)amino-,  $C_1$ - $C_4$  alkoxy-, hydroxyl, or N-heterocyclyl.

## 23. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub>, is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  is  $-C(O)R_6$ ;

R<sub>6</sub> is optionally substituted phenyl;

 $R_4$  and  $R_4$ , together with the carbon to which they are attached form an optionally substituted alkylidene;

R<sub>5</sub> is R<sub>16</sub>-alkylene-; and

 $R_{16}$  is amino,  $C_1$ - $C_4$  alkylamino-,  $di(C_1$ - $C_4$  alkyl)amino-,  $C_1$ - $C_4$  alkoxy-, hydroxyl, or N-heterocyclyl.

#### 24. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub>, is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle; and

R<sub>4</sub> and R<sub>4</sub>, are independently chosen from hydrogen and optionally substituted lower alkyl.

#### 25. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_{\rm l}$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

 $R_{2}$ , is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted 5- to 12-membered nitrogen-containing heterocycle; and

R<sub>4</sub> and R<sub>4</sub>, together with the carbon to which they are attached form an optionally substituted alkylidene.

#### 26. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

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R<sub>2</sub>, is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  taken together with  $R_5$ , and the nitrogen to which they are bound, form an optionally substituted imidazole ring; and

 $R_4$  and  $R_{4^{\prime}}$  are independently chosen from hydrogen and optionally substituted lower alkyl.

27. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_{\rm l}$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub>, is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  taken together with  $R_5$ , and the nitrogen to which they are bound, form an optionally substituted imidazole ring; and

 $R_4$  and  $R_{4^{\circ}}$  together with the carbon to which they are attached form an optionally substituted alkylidene.

28. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub>· is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>3</sub> taken together with R<sub>5</sub>, and the nitrogen to which they are bound, form an optionally substituted imidazoline ring; and

 $R_4$  and  $R_{4'}$  are independently chosen from hydrogen and optionally substituted lower alkyl.

29. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_{\rm l}$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2'</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  taken together with  $R_5$ , and the nitrogen to which they are bound, form an optionally substituted imidazoline ring; and

 $R_4$  and  $R_{4^{\prime\prime}}$  together with the carbon to which they are attached form an optionally substituted alkylidene.

30. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub>, is hydrogen;

 $R_2$  is optionally substituted  $C_1$ - $C_4$  alkyl;

 $R_3$  taken together with  $R_5$ , and the nitrogen to which they are bound, form an optionally substituted diazepinone ring; and

 $R_4$  and  $R_{4^{\prime\prime}}$  are independently chosen from hydrogen and optionally substituted lower alkyl.

31. A compound of claim 1 wherein.

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub>, is hydrogen;

 $R_2$  is optionally substituted  $C_1$ - $C_4$  alkyl;

 $R_3$  taken together with  $R_5$ , and the nitrogen to which they are bound, form an optionally substituted diazepinone ring; and

 $R_4$  and  $R_{4^{\circ}}$  together with the carbon to which they are attached form an

optionally substituted alkylidene.

#### 32. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_{\rm l}$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

R<sub>2</sub> is optionally substituted C<sub>1</sub>-C<sub>4</sub> alkyl;

 $R_3$  taken together with  $R_5$ , and the nitrogen to which they are bound, form an optionally substituted piperazine or diazepam ring; and

 $R_4$  and  $R_{4^{\prime}}$  are independently chosen from hydrogen and optionally substituted lower alkyl.

### 33. A compound of claim 1 wherein

T and T' are each a covalent bond;

 $R_1$  is benzyl, chlorobenzyl, methylbenzyl, methoxybenzyl, cyanobenzyl, or hydroxybenzyl;

R<sub>2</sub> is hydrogen;

 $R_2$  is optionally substituted  $C_1$ - $C_4$  alkyl;

 $R_3$  taken together with  $R_5$ , and the nitrogen to which they are bound, form an optionally substituted piperazine or diazepam ring; and

 $R_4$  and  $R_{4^{\prime\prime}}$  together with the carbon to which they are attached form an optionally substituted alkylidene.

## 34. A compound of claim 1 that is

N-(3-amino-propyl)-N-[1-(4-benzyl-5-oxo-5,6-dihydro-4H-[1,2,4]oxadiazin-3-yl)-2-methyl-propyl]-4-methyl-benzamide;

N-(3-amino-propyl)-N-[1-(4-benzyl-6-isopropylidene-5-oxo-5,6-dihydro-4H-[1,2,4]oxadiazin-3-yl)-2-methyl-propyl]-4-methyl-benzamide; or

N-(3-Amino-propyl)-N-[1-(4-benzyl-6-ethylidene-5-oxo-5,6-dihydro-4H-

[1,2,4]oxadiazin-3-yl)-2-methyl-propyl]-4-methyl-benzamide,

or a pharmaceutically acceptable salt thereof, a pharmaceutically acceptable solvate thereof, or a pharmaceutically acceptable solvate of a pharmaceutically acceptable salt thereof.

- 35. A compound of any of the above claims wherein the stereogenic center to which  $R_2$  and  $R_2$  is attached is of the R configuration.
- 36. A composition comprising a pharmaceutical excipient and a compound, salt, or solvate thereof of any one of claims 1-34.
- 37. A composition according to claim 36, wherein said composition further comprises a chemotherapeutic agent other than a compound of Formula I or a pharmaceutical salt or solvate thereof.
- 38. A composition according to claim 37 wherein said chemotherapeutic agent is a taxane, a vinca alkaloid, or a topoisomerase I inhibitor.
- 39. A method of modulating KSP kinesin activity which comprises contacting said kinesin with an effective amount of a compound according to any one of claims 1 to 34, or a pharmaceutically acceptable salt or solvate thereof.
- 40. A method of inhibiting KSP which comprises contacting said kinesin with an effective amount of a compound according to any one of claims 1 to 34, or a pharmaceutically acceptable salt or solvate thereof.
- 41. A method for the treatment of a cellular proliferative disease comprising administering to a patient in need thereof a compound according to any one of claims 1-34, or a pharmaceutically acceptable salt or solvate thereof.

42. A method for the treatment of a cellular proliferative disease comprising administering to a patient in need thereof a composition according to any one of claims 36-38.

- 43. A method according to claim 41 or claim 42 wherein said disease is selected from cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, and inflammation.
- 44. The use, in the manufacture of a medicament for treating cellular proliferative disease, of a compound according to any one of claims 1-34, or a pharmaceutically acceptable salt or solvate thereof
- 45. The use of a compound as defined in claim 44 for the manufacture of a medicament for treating a disorder associated with KSP kinesin activity.